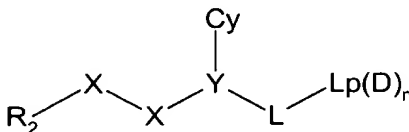


National Phase PCT/GB00/02302

Clean Pending Claims

1 (amended). A serine protease inhibitor compound of formula (I)



(I)

where R<sub>2</sub> represents

(i) phenyl optionally being substituted in the 3 and/or 4 position by halo, nitro, thiol, haloalkoxy, hydrazido, alkylhydrazido, amino, cyano, haloalkyl, alkylthio, alkenyl, alkynyl, acylamino, tri or difluoromethoxy, carboxy, acyloxy, MeSO<sub>2</sub>- or R<sub>1</sub>, and optionally substituted at the 6 position by amino, hydroxy, halo, alkyl, carboxy, alkoxycarbonyl, cyano, amido, aminoalkyl, alkoxy or alkylthio;

(ii) naphth-2-yl optionally substituted at the 6 or 7 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub> and optionally substituted at the 3 position by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio;

(iii) isoquinolin-7-yl, indol-5-yl, indol-6-yl, indazol-5-yl, indazol-6-yl, benzothiazol-6-yl or benzisoxazol-5-yl optionally substituted at the 3 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(iv) benzimidazol-5-yl or benzothiazol-6-yl optionally substituted at the 2 position by amino;

(v) thien-2-yl or thien-3-yl optionally substituted at the 4 or 5 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(vi) 3,4-methylenedioxyphenyl, 2,3-dihydroindol-6-yl, 3,3-dichloro-2-oxo-indol-6-yl or 1-methyl-3-aminoindazol-5-yl;

(vii) benzothiazol-2-yl, imidazo[1,2-a]pyrimidin-2-yl or tetrahydroimidazo[1,2-a]pyrimidin-2-yl;

(viii) pyrazol-2-yl optionally substituted at the 5 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(ix) pyrid-2-yl optionally substituted at the 5 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(x) pyrid-3-yl optionally substituted at the 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(xi) benzofur-2-yl optionally substituted at the 3 position by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio and at the 5 or 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(xii) indol-2-yl optionally substituted on the indole nitrogen atom by alkyl and optionally substituted at the 5 or 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(xiii) indol-6-yl substituted at the 5 position by amino, hydroxy, halo, alkyl, carboxy, alkoxycarbonyl, cyano, amido, aminoalkyl, alkoxy or alkylthio and optionally substituted at the 3 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>; or

(xiv) benzo[b]thiophen-2-yl optionally substituted at the 3 position by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio and at the 5 or 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>,

with the proviso that R<sub>2</sub> cannot be aminoisoquinolyl;

each X independently is a C, N, O or S atom or a CO, CR<sub>1a</sub>, C(R<sub>1a</sub>)<sub>2</sub> or NR<sub>1a</sub> group, at least one X being C, CO, CR<sub>1a</sub> or C(R<sub>1a</sub>)<sub>2</sub>;

each R<sub>1a</sub> independently represents hydrogen or hydroxyl, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxy carbonyl, alkylaminocarbonyl, alkoxy carbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl or cycloalkyl;

R<sub>1</sub> is as defined for R<sub>1a</sub>, provided that R<sub>1</sub> is not unsubstituted aminoalkyl;

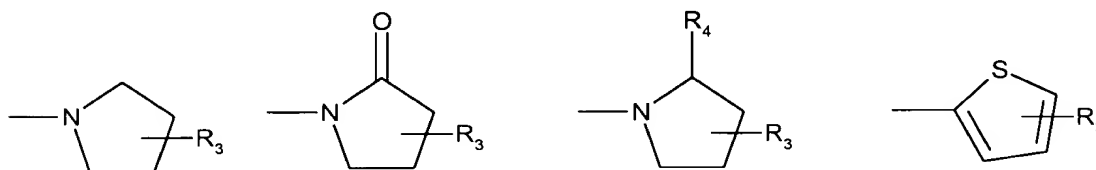
L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group;

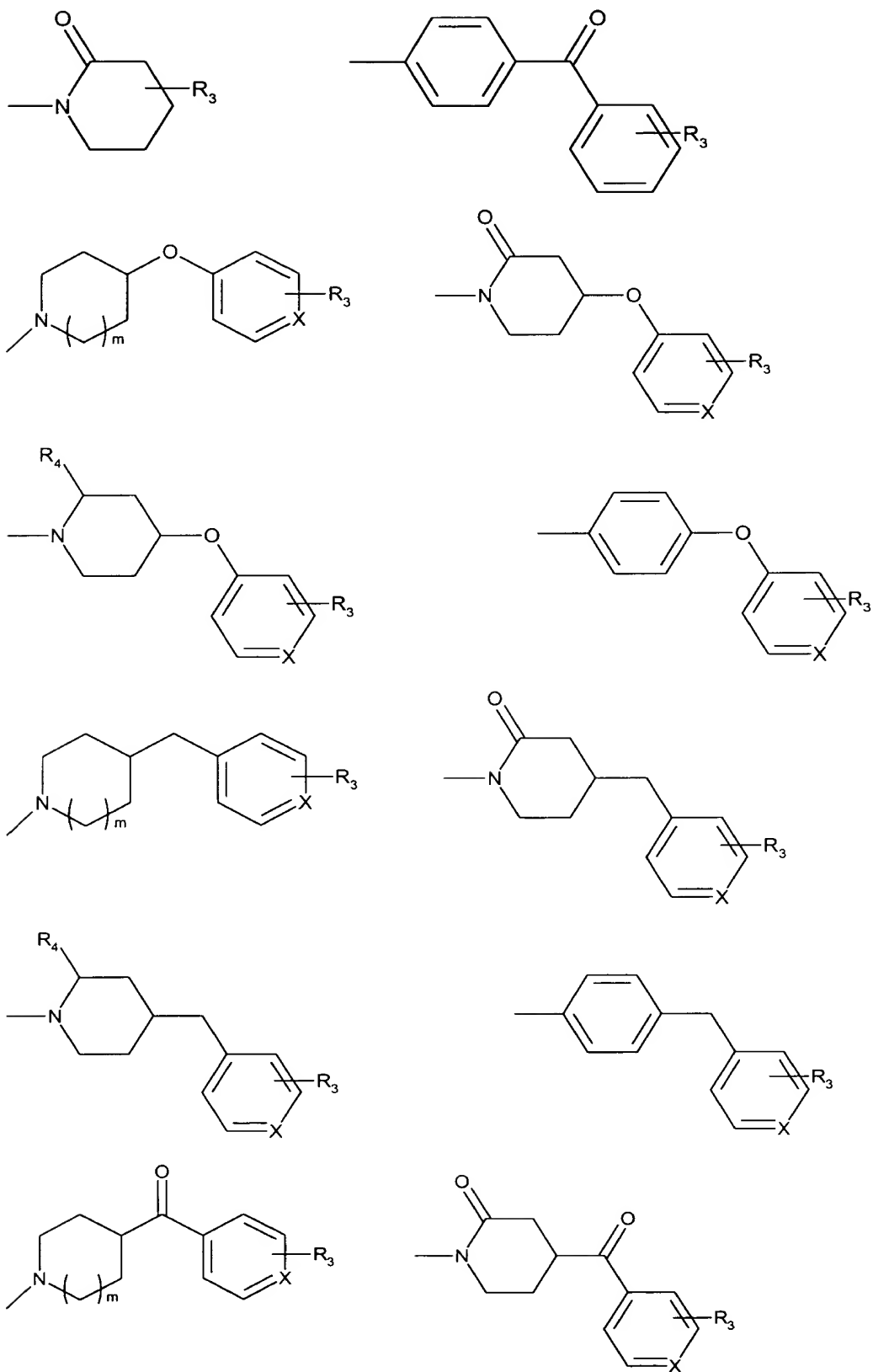
Y is a nitrogen atom or a CR<sub>1b</sub> group;

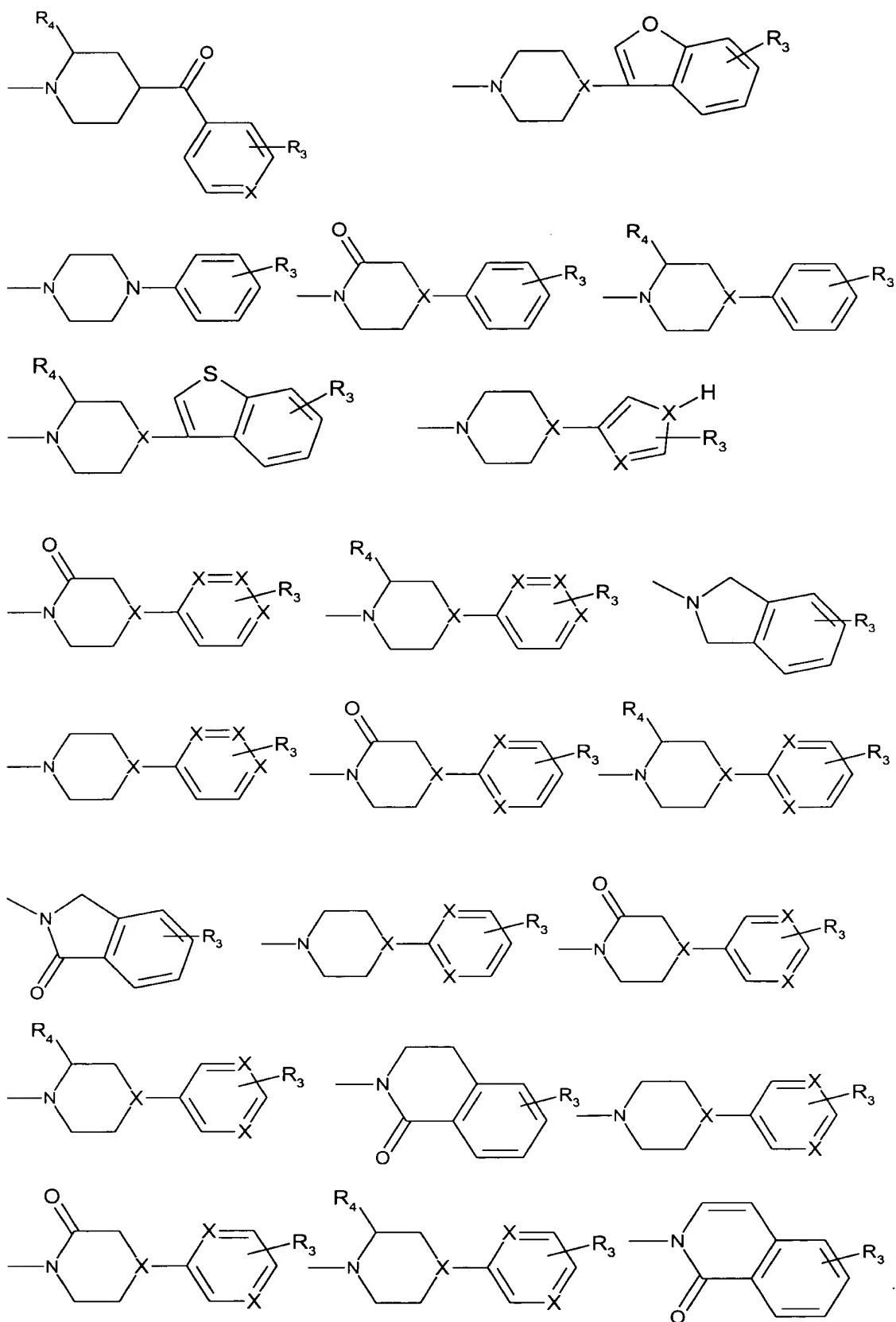
Cy is a saturated or unsaturated, mono or poly cyclic, homo or heterocyclic group optionally substituted by groups R<sub>3a</sub> or phenyl optionally substituted by R<sub>3a</sub>;

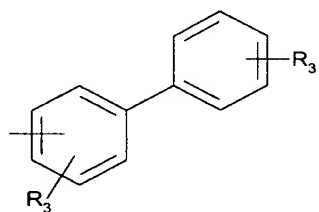
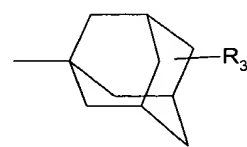
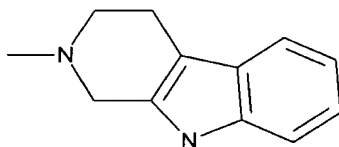
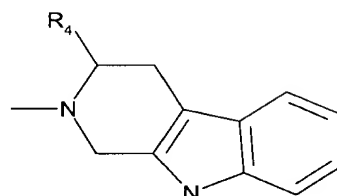
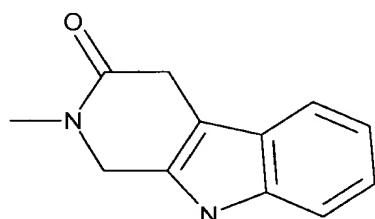
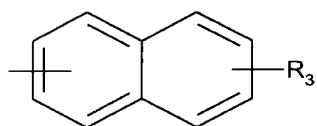
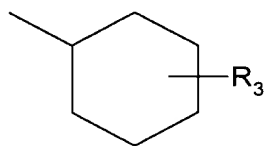
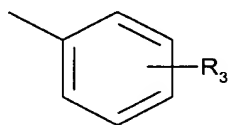
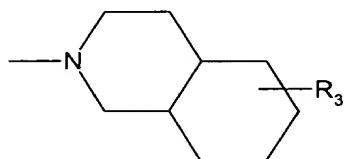
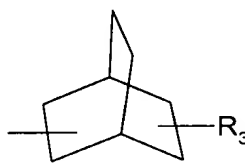
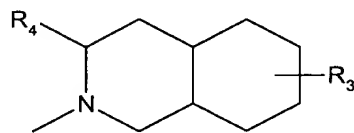
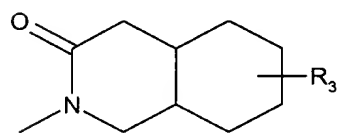
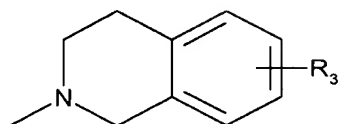
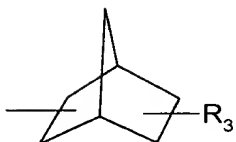
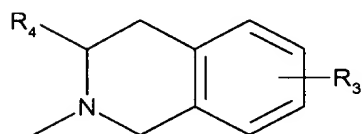
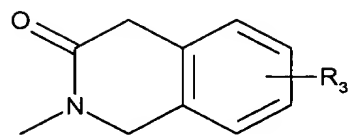
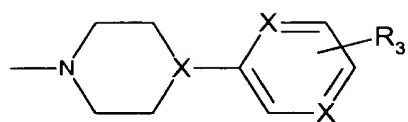
each R<sub>3a</sub> independently is R<sub>1c</sub>, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulphonyl, alkylsulphenyl, triazolyl, imidazolyl, tetrazolyl, hydrazido, alkyl imidazolyl, thiazolyl, alkyl thiazolyl, alkyl oxazolyl, oxazolyl, alkylsulphonamido, alkylaminosulphonyl, aminosulphonyl, haloalkoxy and haloalkyl;

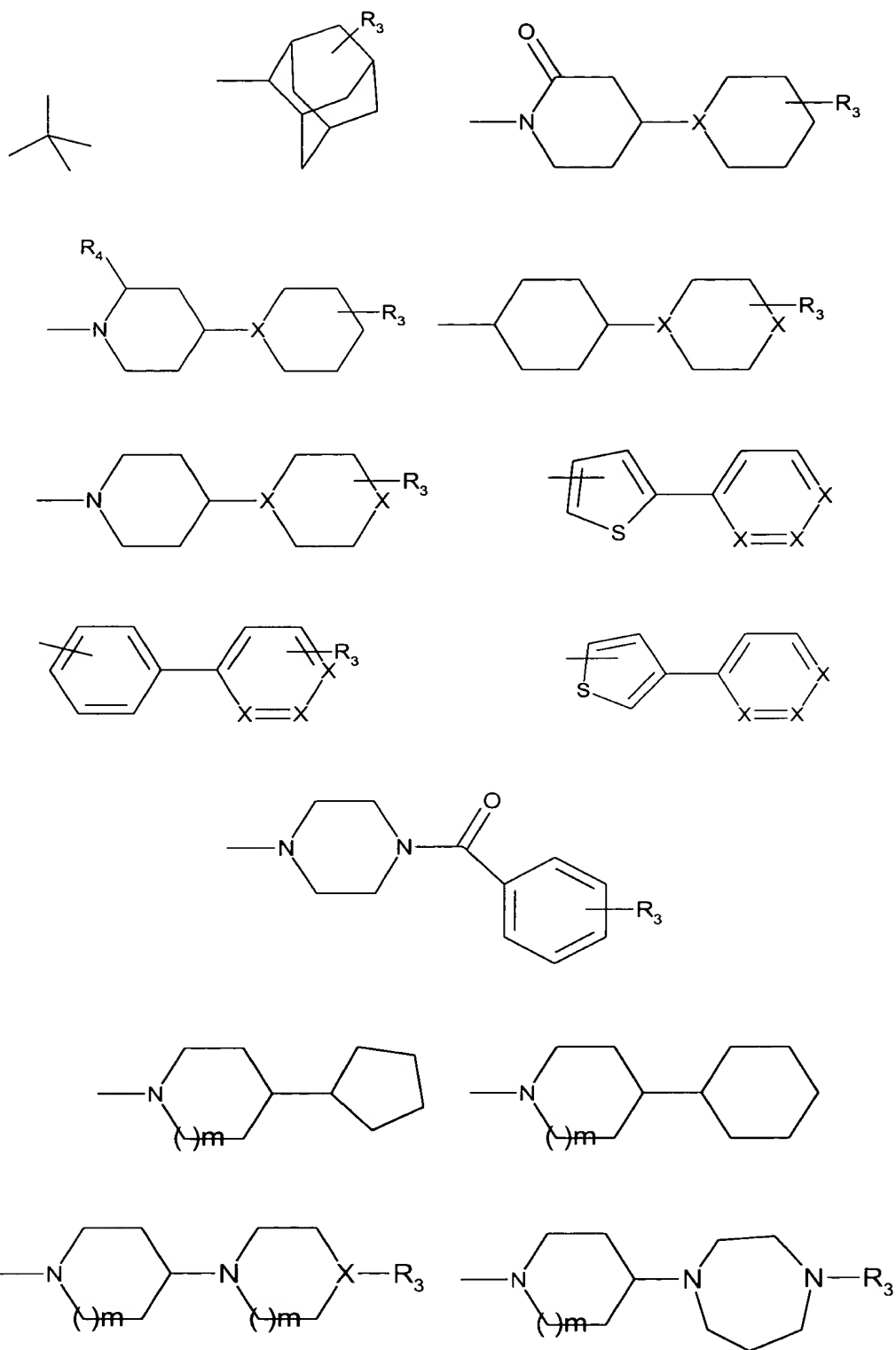
Lp is a lipophilic organic group selected from

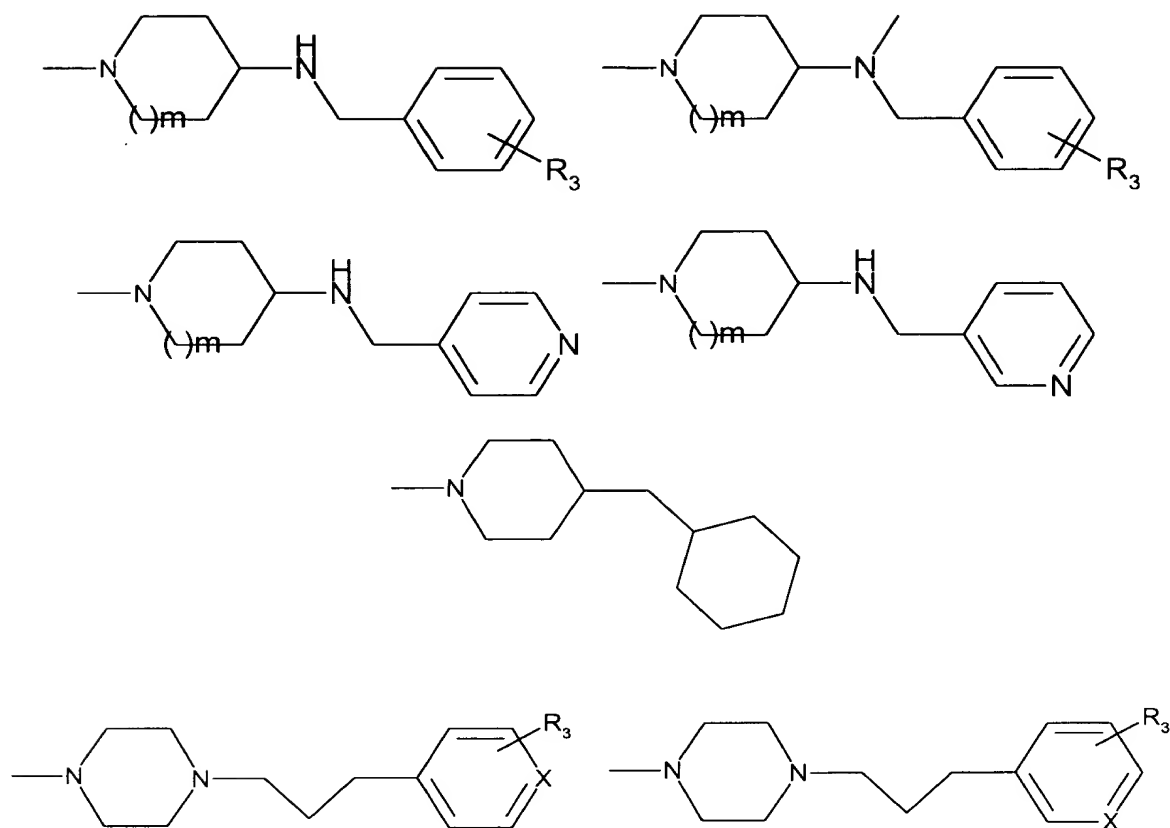












wherein  $R_3$  is as defined for  $R_{3a}$ ;

$m$  represents 0 or 1;

$R_4$  represents hydrogen,  $(CH_2)_wCOOH$  or  $(CH_2)_wCONH_2$ ;

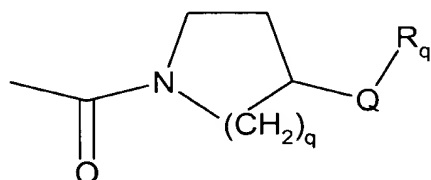
$w$  represents an integer from 0 to 4; and

$X$  represents CH or N;

$D$  is a hydrogen bond donor group; and  $n$  is 0;

or  $-L-Lp(D)_n$  is:

(i)



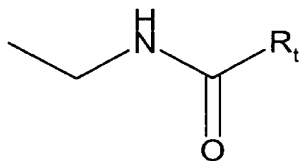
in which  $q$  is 1 or 2;

$Q$  is a direct bond; and  $R_q$  is piperidin-4-yl which may bear a  $C_{1-3}$ alkyl substituent at the 1-position; or  $R_q$  is  $NR_aR_b$



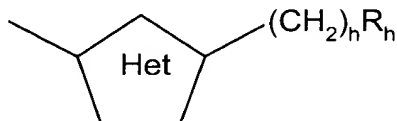
in which each of  $R_a$  and  $R_b$  independently is hydrogen or  $C_{1-3}$ alkyl; or one of  $R_a$  and  $R_b$  is hydrogen or methyl and the other of  $R_a$  and  $R_b$  is  $-CH_2-R_c$  or  $-CH_2-R_d$  in which  $R_c$  is pyridyl or phenyl (which phenyl may bear a fluoro, chloro, methyl,  $CONH_2$ ,  $SO_2NH_2$ , methylaminosulphonyl, dimethylaminosulphonyl, methylsulphonylamino, methoxy or methylsulphonyl substituent) and in which  $R_d$  is isopropyl or cyclopentyl, or  $NR_aR_b$  is pyrrolidino, piperidino, morpholino, piperazino, or tetrahydro-1,4-diazepino in which a pyrrolidino or piperidino may be a 3,4-didehydro derivative and in which a pyrrolidino, piperidino, piperazino, or tetrahydro-1,4-diazepino may bear a methyl group at the 4-position;

(ii)



in which  $R_t$  is phenyl (which phenyl may bear a fluoro, chloro,  $C_{1-4}$  alkyl, methoxy or methylsulphonyl substituent); or

(iii)



2. A compound as claimed in Claim 1, where

R<sub>2</sub> represents a 5 or 6 membered aromatic carbon ring optionally interrupted by a nitrogen, oxygen or sulphur ring atom, optionally being substituted in the 3 and/or 4 position by halo, nitro, haloalkoxy, amino, cyano, haloalkyl, alkylthio, alkenyl, alkynyl, acylamino, tri or difluoromethoxy, carboxy, acyloxy, MeSO<sub>2</sub>- or R<sub>1</sub>, or the substituents at the 3 and 4 positions taken together form a fused ring which is a 5 or 6 membered carbocyclic or heterocyclic ring optionally substituted by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>, and optionally substituted in the position alpha to the X-X.. group (i.e. 6 position for a six membered aromatic ring etc) by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio with the proviso that R<sub>2</sub> cannot be aminoisoquinolyl; and

each R<sub>1a</sub> independently represents hydrogen or hydroxyl, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxy carbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl or cycloalkyl.

3. (Cancelled on national phase entry)

4 (amended). A compound as claimed in Claim 1, in which X-X is selected from -CH=CH-, -CONH-, -CONR<sub>1a</sub>-, -NH-CO-, -NH-CH<sub>2</sub>-, -CH<sub>2</sub>-NH-, -CH<sub>2</sub>O-, -OCH<sub>2</sub>-, -COO-, -OC=O- and -CH<sub>2</sub>CH<sub>2</sub>-.

5. A compound as claimed in Claim 4, in which X-X is CONH.

6 (amended). A compound as claimed in Claim 1, in which Y is a CR<sub>1b</sub> group and has the conformation that would result from

construction from a D- $\alpha$ -aminoacid  $\text{NH}_2\text{-CR}_{1b}(\text{Cy})\text{-COOH}$  where the  $\text{NH}_2$  represents part of X-X.

7 (amended). A compound as claimed in any one of Claims 1, 2, 4, 5 and 6, in which Y is CH.

8 (amended). A compound as claimed in Claim 7, in which Cy represents an optionally  $\text{R}_{3a}$  substituted phenyl, pyridyl, thienyl, thiazolyl, naphthyl, piperidiny1 or cycloalkyl group.

9. A compound as claimed in Claim 8, in which  $\text{R}_{3a}$  is selected from hydrogen, hydroxyl, methoxy, ethoxy, methyl, ethyl, methylaminomethyl, dimethylaminomethyl, hydroxymethyl, carboxy, methoxymethyl, methoxycarbonyl, ethoxycarbonyl, methylaminocarbonyl, dimethylamino-carbonyl, aminomethyl,  $\text{CONH}_2$ ,  $\text{CH}_2\text{CONH}_2$ , acetyl amino, methoxycarbonylamino, ethoxycarbonylamino, t-butoxycarbonylamino, amino, fluoro, chloro, cyano, nitro, thiol, methylthio, methylsulphonyl, ethylsulphonyl, methylsulphenyl, methylsulphonylamido, ethylsulphonylamido, methylaminosulphonyl, ethylaminosulphonyl, aminosulphonyl, trifluoromethoxy and trifluoromethyl.

10 (amended). A compound as claimed in Claim 1, in which Cy is phenyl, 4-aminophenyl, 4-amidophenyl, 4-(N-methyl)amido-phenyl, 4-(N,N-dimethyl)amidophenyl, 2-chlorophenyl, 2-methylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 4-methoxy-phenyl, 4-carboxyphenyl, 3-ethylsulphonylaminophenyl, thien-2-yl, thien-3-yl, thiazol-4-yl, thiazol-5-yl, 2-methylthiazol-4-yl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, piperidin-4-yl, 1-methylpiperidin-4-yl, cyclohexyl or naphth-1-yl.

11 (amended). A compound as claimed in Claim 1, in which L represents CO, CH<sub>2</sub>NH, CONR<sub>1d</sub>(CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>N(R<sub>1d</sub>)CO(CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m+2</sub>, CO(CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>CO, (CH<sub>2</sub>)<sub>m</sub>OC=O, (CH<sub>2</sub>)<sub>m</sub>O, CH=CH(CH<sub>2</sub>)<sub>m</sub>, SO<sub>2</sub>, SO<sub>2</sub>NR<sub>1d</sub>, SO<sub>2</sub>(CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>SO<sub>2</sub> or (CH<sub>2</sub>)<sub>m</sub>SO<sub>2</sub>NR<sub>1d</sub> (where each m is independently 0 or 1 and R<sub>1d</sub> is as defined for R<sub>1a</sub>).

12. A compound as claimed in Claim 11, in which L is CO, CONH, CH<sub>2</sub>NHCO and CONHCH<sub>2</sub>.

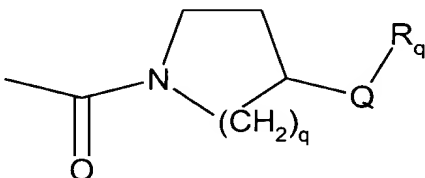
13. (Cancelled on national phase entry)

14. (Cancelled on national phase entry)

15. (Cancelled on national phase entry)

16 (amended). A compound as claimed in Claim 1, in which in -L-Lp(D)<sub>n</sub> is:

(i)

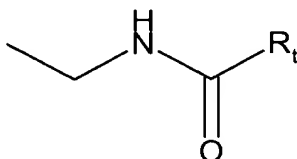


in which q is 1 or 2;

Q is a direct bond; and R<sub>q</sub> is piperidin-4-yl which may bear a C<sub>1-3</sub>alkyl substituent at the 1-position; or R<sub>q</sub> is NR<sub>a</sub>R<sub>b</sub> in which each of R<sub>a</sub> and R<sub>b</sub> independently is hydrogen or C<sub>1-3</sub>alkyl; or one of R<sub>a</sub> and R<sub>b</sub> is hydrogen or methyl and the other of R<sub>a</sub> and R<sub>b</sub> is -CH<sub>2</sub>-R<sub>c</sub> or -CH<sub>2</sub>-R<sub>d</sub> in which R<sub>c</sub> is pyridyl or phenyl (which phenyl may bear a fluoro, chloro, methyl, CONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, methylaminosulphonyl, dimethylaminosulphonyl, methylsulphonylamino, methoxy or methylsulphonyl substituent)

and in which  $R_d$  is isopropyl or cyclopentyl, or  $NR_aR_b$  is pyrrolidino, piperidino, morpholino, piperazino, or tetrahydro-1,4-diazepino in which a pyrrolidino or piperidino may be a 3,4-didehydro derivative and in which a pyrrolidino, piperidino, piperazino, or tetrahydro-1,4-diazepino may bear a methyl group at the 4-position;

(ii)



in which  $R_t$  is phenyl (which phenyl may bear a fluoro, chloro,  $C_{1-4}$  alkyl, methoxy or methylsulphonyl substituent); or

(iii)



in which Het is a divalent 5 membered heteroaromatic group containing 1, 2 or 3 heteroatoms selected from O, N and S and having the two ring atoms at which it is connected separated by one ring atom;

$h$  is 0 or 1; and

$R_h$  is phenyl which may bear one or more  $R_3$  substituents.

17 (amended). A compound as claimed in Claim 16, in which

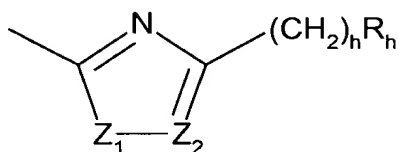
(i)  $q$  is 2, and

$R_q$  is piperidin-4-yl which may bear a (1-3C)alkyl substituent at the 1-position;

(iii)  $R_h$  is phenyl which may bear one or more  $R_3$  substituents independently selected from, for an ortho or a para substituent:  $C_{1-5}$  alkyl, fluoro, chloro, difluoromethyl, trifluoromethyl, methoxy, dimethylamino, methylsulphonyl, and

C<sub>1-2</sub> acyl, and for a meta substituent: fluoro, chloro and methyl.

18 (amended). A compound as claimed in Claim 1, in which -L-Lp(D)<sub>n</sub> is



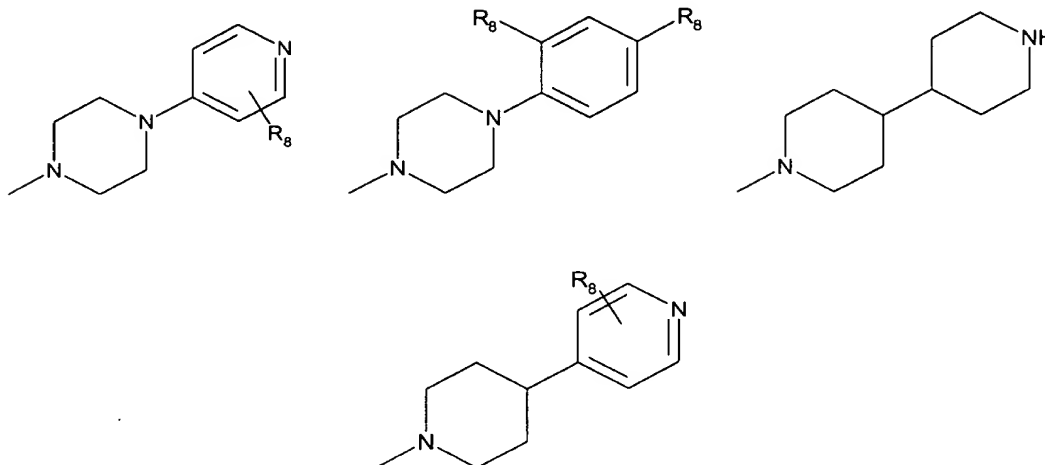
in which R<sub>h</sub> is phenyl which may bear an ortho and/or a para substituent independently selected from, for an ortho: methyl, fluoro, chloro, methylsulphonyl and acetyl, and for a para substituent: methyl, fluoro, chloro, methoxy and dimethylamino;

Z<sub>1</sub> is S, Z<sub>2</sub> is CH, h is 0; or

Z<sub>1</sub> is NH, Z<sub>2</sub> is N, h is 1.

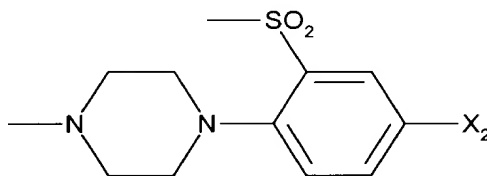
19 (amended). A compound as claimed in Claim 1, in which R<sub>3</sub> is selected from hydrogen, hydroxyl, methoxy, ethoxy, methyl, ethyl, propyl, 2-propyl, butyl, 2-butyl, t-butyl, pentyl, 2-pentyl or 3-pentyl, isopropylaminomethyl, dimethylaminomethyl, diethylaminomethyl, dimethylaminoethyl, acetyl, hydroxymethyl, hydroxyethyl, carboxy, methoxymethyl, methoxycarbonyl, ethoxycarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, aminomethyl, aminocarbonyl, methylamino, dimethylamino, ethylamino, formylamino, acetylamino, amino, fluoro, chloro, cyano, nitro, thiol, methylthio, methylsulphonyl, ethylsulphonyl, isopropylsulphonyl, methylsulphenyl, 1,2,4-triazol-2-yl, 1,2,4-triazol-4-yl, 1,2,3-triazol-4-yl, 1,3-imidazol-1-yl or 1,3-imidazol-4-yl, tetrazol-1-yl, tetrazol-5-yl; methylsulphonamido, ethylsulphonamido, propylsulphonamido, methylaminosulphonyl, ethylaminosulphonyl, propylaminosulphonyl, aminosulphonyl, trifluoromethoxy, trifluoromethyl and trichloromethyl.

20 (amended). A compound as claimed in Claim 1, in which Lp is selected from



where R<sub>8</sub> represents H, OMe, SO<sub>2</sub>Me, F, cyano, amido, amino, NO<sub>2</sub>, Cl or OH.

21 (amended). A compound as claimed in Claim 1, in which Lp represents



(K)

wherein X<sub>2</sub> is halo, hydrogen, amino, nitro or CONH<sub>2</sub>.

22. (Cancelled on national phase entry)

23 (amended). A compound as claimed in Claim 1, in which R<sub>2</sub> represents:

(i) phenyl optionally being substituted in the 3 and/or 4 position by fluoro, chloro, bromo, iodo, nitro, difluoromethoxy, trifluoromethoxy, amino, cyano,

trifluoromethyl, methylthio, vinyl, carboxy, acetoxy, MeSO<sub>2</sub>-, hydroxy, methoxy, ethoxy, methyl, methoxycarbonyl, methylamino, ethylamino or amido, and optionally substituted at the 6 position by amino, hydroxy, fluoro, methoxycarbonyl, cyano or aminomethyl;

(ii) naphth-2-yl optionally substituted at the 6, position by hydroxy and optionally substituted at the 3 position by amino or hydroxy;

(iii) isoquinolin-7-yl, indol-5-yl, indol-6-yl, indazol-5-yl, indazol-6-yl, benzothiazol-6-yl or benzisoxazol-5-yl optionally substituted at the 3 position by chloro, bromo, amino, methyl or methoxy;

(iv) benzimidazol-5-yl or benzothiazol-6-yl optionally substituted at the 2 position by amino;

(v) thien-2-yl or thien-3-yl optionally substituted at the 4 or 5 position by methylthio, methyl or acetyl;

(vi) 3,4-methylenedioxyphenyl, 2,3-dihydroindol-6-yl, 3,3-dichloro-2-oxo-indol-6-yl or 1-methyl-3-aminoindazol-5-yl;

(vii) benzothiazol-2-yl, imidazo[1,2-a]pyrimidin-2-yl or tetrahydroimidazo[1,2-a]pyrimidin-2-yl;

(viii) pyrazol-2-yl substituted at the 5 position by methyl;

(ix) pyrid-2-yl optionally substituted at the 6 position by chloro;

(x) pyrid-3-yl optionally substituted at the 4 position by chloro;

(xi) benzofur-2-yl optionally substituted at the 3 position by chloro, methyl or methoxy, at the 5 or 6 position by methyl and at the 6 position by methoxy;

(xii) indol-2-yl optionally substituted on the indole nitrogen atom by methyl and optionally substituted at the 5 or 6 position by fluoro, chloro, bromo, methyl or methoxy;



(xiii) indol-6-yl substituted at the 5 position by chloro, fluoro or hydroxy and optionally substituted at the 3 position by chloro or methyl; or

(xiv) benzo[b]thiophen-2-yl optionally substituted at the 3 position by fluoro, chloro or methyl, and optionally substituted at the 5 or 6 position by fluoro, chloro, methyl, hydroxy, or methoxy.

24 (amended). A compound as claimed in Claim 23, in which R<sub>2</sub> represents indol-6-yl optionally substituted at the 3 position by chloro, bromo, methyl or methoxy or indol-6-yl substituted at the 5 position by chloro, fluoro or hydroxy and optionally substituted at the 3 position by chloro or methyl.

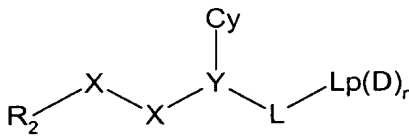
25. (Cancelled on national phase entry)

26. (Cancelled on national phase entry)

27. A pharmaceutical composition, which comprises a compound as claimed in Claim 1 together with at least one pharmaceutically acceptable carrier or excipient.

28 (new). A compound as claimed in Claim 23, in which R<sub>2</sub> represents phenyl substituted in the 4 position by chloro, amino, vinyl, methylamino, methyl or methoxy, optionally at the 3 position with amino or hydroxy, and optionally at the 6 position with amino or hydroxy.

29 (new). A serine protease inhibitor compound of formula (I)



(I)

where R<sub>2</sub> represents

(i) phenyl optionally being substituted in the 3 and/or 4 position by halo, nitro, thiol, haloalkoxy, hydrazido, alkylhydrazido, amino, cyano, haloalkyl, alkylthio, alkenyl, alkynyl, acylamino, tri or difluoromethoxy, carboxy, acyloxy, MeSO<sub>2</sub>- or R<sub>1</sub>, and optionally substituted at the 6 position by amino, hydroxy, halo, alkyl, carboxy, alkoxycarbonyl, cyano, amido, aminoalkyl, alkoxy or alkylthio;

(ii) naphth-2-yl optionally substituted at the 6 or 7 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub> and optionally substituted at the 3 position by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio;

(iii) isoquinolin-7-yl, indol-5-yl, indol-6-yl, indazol-5-yl, indazol-6-yl, benzothiazol-6-yl or benzisoxazol-5-yl optionally substituted at the 3 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(iv) benzimidazol-5-yl or benzothiazol-6-yl optionally substituted at the 2 position by amino;

(v) thien-2-yl or thien-3-yl optionally substituted at the 4 or 5 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(vi) 3,4-methylenedioxyphenyl, 2,3-dihydroindol-6-yl, 3,3-dichloro-2-oxo-indol-6-yl or 1-methyl-3-aminoindazol-5-yl;

(vii) benzothiazol-2-yl, imidazo[1,2-a]pyrimidin-2-yl or tetrahydroimidazo[1,2-a]pyrimidin-2-yl;

(viii) pyrazol-2-yl optionally substituted at the 5 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(ix) pyrid-2-yl optionally substituted at the 5 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1</sub>;

(x) pyrid-3-yl optionally substituted at the 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(xi) benzofur-2-yl optionally substituted at the 3 position by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio and at the 5 or 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(xii) indol-2-yl optionally substituted on the indole nitrogen atom by alkyl and optionally substituted at the 5 or 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>;

(xiii) indol-6-yl substituted at the 5 position by amino, hydroxy, halo, alkyl, carboxy, alkoxycarbonyl, cyano, amido, aminoalkyl, alkoxy or alkylthio and optionally substituted at the 3 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>; or

(xiv) benzo[b]thiophen-2-yl optionally substituted at the 3 position by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio and at the 5 or 6 position by halo, haloalkoxy, haloalkyl, cyano, nitro, amino, hydrazido, alkylthio, alkenyl, alkynyl or R<sub>1j</sub>,

with the proviso that R<sub>2</sub> cannot be aminoisoquinolyl;

each X independently is a C, N, O or S atom or a CO, CR<sub>1a</sub>, C(R<sub>1a</sub>)<sub>2</sub> or NR<sub>1a</sub> group, at least one X being C, CO, CR<sub>1a</sub> or C(R<sub>1a</sub>)<sub>2</sub>;

each R<sub>1a</sub> independently represents hydrogen or hydroxyl, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl or cycloalkyl;

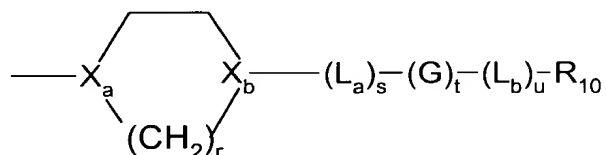
R<sub>1</sub> is as defined for R<sub>1a</sub>, provided that R<sub>1</sub> is not unsubstituted aminoalkyl;

Y is a nitrogen atom or a CR<sub>1b</sub> group;

Cy is a saturated or unsaturated, mono or poly cyclic, homo or heterocyclic group optionally substituted by groups R<sub>3a</sub> or phenyl optionally substituted by R<sub>3a</sub>;

each R<sub>3a</sub> independently is R<sub>1c</sub>, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulphonyl, alkylsulphenyl, triazolyl, imidazolyl, tetrazolyl, hydrazido, alkyl imidazolyl, thiazolyl, alkyl thiazolyl, alkyl oxazolyl, oxazolyl, alkylsulphonamido, alkylaminosulphonyl, aminosulphonyl, haloalkoxy and haloalkyl;

Lp is group of formula:



in which:

r is 1 or 2;

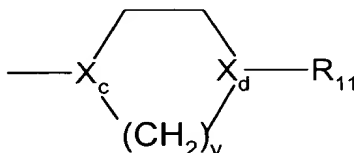
one of X<sub>a</sub> and X<sub>b</sub> is N and the other is CH or N provided that when r is 1, X<sub>a</sub> and X<sub>b</sub> are not both N;

s, t and u are each 0 or 1;

L<sub>a</sub> and L<sub>b</sub> are each independently selected from a single bond, C=O, O and NR<sub>1e</sub>, in which R<sub>1e</sub> is hydrogen or (1-6C)alkyl;

G is (1-6C)alkanediyl; and

R<sub>10</sub> is (1-6C)alkyl, (3-6C)cycloalkyl which is unsubstituted or substituted by (1-6C)alkyl, indanyl, pyridyl, tetrahydropyranyl, tetrahydrothiopyranyl, phenyl which is unsubstituted or substituted by one or two R<sub>3</sub> groups, pyrrolinyl, or a group of formula

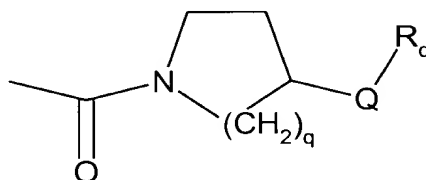


in which  $v$  is 1, 2 or 3; one of  $X_C$  and  $X_D$  is N and the other is CH or N, provided that when  $v$  is 1,  $X_C$  and  $X_D$  are not both N; and  $R_{11}$  is hydrogen, (1-6C)alkyl or when  $X_D$  is CH, hydroxy(1-6C)alkyl; provided that when  $t$  is 0, the sum of  $s$  and  $u$  is 1; when  $X_B$  is N,  $L_A$  is a bond or C=O; when  $X_C$  is N,  $L_B$  is a bond or C=O; when  $X_B$  and  $X_C$  are both N,  $t$  is 1; and when  $(L_A)_s-(G)_t-(L_B)$  represents an alkyl group and  $X_B$  and  $X_C$  both represent N, the alkyl group contains at least two chain carbon atoms,

where  $L$  is CO or  $CH_2CO$ , when  $X_A$  is N, or  $L$  is CONH, CONHCH<sub>2</sub> or  $CH_2NHCO$  when  $X_A$  is CH;

but excluding compounds in which  $-L-Lp(D)_n$  is:

(i)



in which  $q$  is 1 or 2;

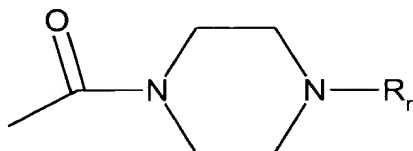
(a)  $Q$  is  $-O-$  or  $-NH-$ ; and  $R_q$  is  $R_C$ ; or

(b)  $Q$  is methylene; and  $R_q$  is  $NR_aR_b$ ;

each of  $R_a$  and  $R_b$  independently is hydrogen or  $C_{1-3}$ alkyl; or one of  $R_a$  and  $R_b$  is hydrogen or methyl and the other of  $R_a$  and  $R_b$  is  $-CH_2-R_C$  or  $-CH_2-R_D$  in which  $R_C$  is pyridyl or phenyl (which phenyl may bear a fluoro, chloro, methyl,  $CONH_2$ ,  $SO_2NH_2$ , methylaminosulphonyl, dimethylaminosulphonyl, methylsulphonylamino, methoxy or methylsulphonyl substituent) and in which  $R_D$  is isopropyl or cyclopentyl, or  $NR_aR_b$  is pyrrolidino, piperidino, morpholino, piperazino, or tetrahydro-1,4-diazepino in which a pyrrolidino or piperidino may be a 3,4-didehydro derivative and in which a pyrrolidino,

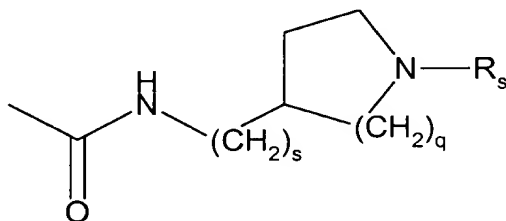
piperidino, piperazino, or tetrahydro-1,4-diazepino may bear a methyl group at the 4-position;

(ii)



in which  $R_r$  is  $-(CH_2)_c-R_C$ ,  $-CHReR_f$ ,  $-CH_2-CHReR_f$ , or  $R_g$  in which  $c$  is 1 or 2 and  $R_C$  is defined as above; each of  $R_e$  and  $R_f$  independently is hydrogen or  $C_{1-3}$ alkyl; or  $CHReR_f$  is cyclopentyl (which may bear a methyl, ethyl or hydroxymethyl substituent at the 3- or 4-position), cyclohexyl (which may bear a methyl, ethyl or hydroxymethyl substituent at the 3- or 4-position), tetrahydropyran-4-yl, tetrahydrothiopyran-4-yl, pyrrolidin-3-yl (which may bear a 1-methyl substituent), piperidin-4-yl (which may bear a 1-methyl substituent), or indan-2-yl; and  $R_g$  is 2-methylsulphonylphenyl which may bear a 4-fluoro substituent or  $R_g$  is  $\lambda^6$ -1,1-dioxobenzo[b]thiophen-7-yl;

(iii)

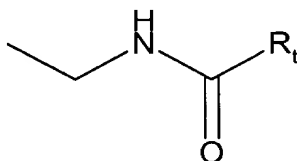


in which  $q$  is 1 or 2;

$s$  is 0 or 1; and

$R_s$  is  $-(CH_2)_c-R_C$ ,  $-CHReR_f$ , or  $-CH_2-CHReR_f$  each of which is defined as above; or

(iv)



in which  $R_t$  is piperidin-4-yl, piperidin-3-yl or pyrrolidin-3-yl, any of which may bear a  $C_{1-3}$  alkyl substituent at the 1-position;

D is a hydrogen bond donor group; and n is 0;  
and

$R_{1b}$ ,  $R_{1c}$  and  $R_{1j}$  are as defined for  $R_{1a}$ ,  
or a physiologically tolerable salt thereof.

30 (new). A compound as claimed in Claim 29 in which X-X is selected from -CH=CH-, -CONH-, -CONR<sub>1a</sub>-, -NH-CO-, -NH-CH<sub>2</sub>-, -CH<sub>2</sub>-NH-, -CH<sub>2</sub>O-, -OCH<sub>2</sub>-, -COO-, -OC=O- and -CH<sub>2</sub>CH<sub>2</sub>-.

31 (new). A compound as claimed in Claim 30, in which X-X is CONH.

32 (new). A compound as claimed in Claim 29, in which Y is a CR<sub>1b</sub> group and has the conformation that would result from construction from a D- $\alpha$ -aminoacid NH<sub>2</sub>-CR<sub>1b</sub>(Cy)-COOH where the NH<sub>2</sub> represents part of X-X.

33 (new). A compound as claimed in any one of Claims 29-32, in which Y is CH.

34 (new). A compound as claimed in Claim 33, in which Cy represents an optionally R<sub>3a</sub> substituted phenyl, pyridyl, thienyl, thiazolyl, naphthyl, piperidinyl or cycloalkyl group.

35 (new). A compound as claimed in Claim 34, in which R<sub>3a</sub> is selected from hydrogen, hydroxyl, methoxy, ethoxy, methyl, ethyl, methylaminomethyl, dimethylaminomethyl, hydroxymethyl, carboxy, methoxymethyl, methoxycarbonyl, ethoxycarbonyl, methylaminocarbonyl, dimethylamino-carbonyl, aminomethyl, CONH<sub>2</sub>, CH<sub>2</sub>CONH<sub>2</sub>, acetyl amino,

methoxycarbonylamino, ethoxycarbonylamino, t-butoxycarbonylamino, amino, fluoro, chloro, cyano, nitro, thiol, methylthio, methylsulphonyl, ethylsulphonyl, methylsulphenyl, methylsulphonylamido, ethylsulphonylamido, methylaminosulphonyl, ethylaminosulphonyl, aminosulphonyl, trifluoromethoxy and trifluoromethyl.

36 (new). A compound as claimed in Claim 29, in which Cy is phenyl, 4-aminophenyl, 4-amidophenyl, 4-(N-methyl)amidophenyl, 4-(N,N-dimethyl)amidophenyl, 2-chlorophenyl, 2-methylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 4-methoxyphenyl, 4-carboxyphenyl, 3-ethylsulphonylaminophenyl, thien-2-yl, thien-3-yl, thiazol-4-yl, thiazol-5-yl, 2-methylthiazol-4-yl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, piperidin-4-yl, 1-methylpiperidin-4-yl, cyclohexyl or naphth-1-yl.

37 (new). A compound as claimed in Claim 29, in which R<sub>3</sub> is selected from hydrogen, hydroxyl, methoxy, ethoxy, methyl, ethyl, propyl, 2-propyl, butyl, 2-butyl, t-butyl, pentyl, 2-pentyl or 3-pentyl, isopropylaminomethyl, dimethylaminomethyl, diethylaminomethyl, dimethylaminoethyl, acetyl, hydroxymethyl, hydroxyethyl, carboxy, methoxymethyl, methoxycarbonyl, ethoxycarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, aminomethyl, aminocarbonyl, methylamino, dimethylamino, ethylamino, formylamino, acetylamino, amino, fluoro, chloro, cyano, nitro, thiol, methylthio, methylsulphonyl, ethylsulphonyl, isopropylsulphonyl, methylsulphenyl, 1,2,4-triazol-2-yl, 1,2,4-triazol-4-yl, 1,2,3-triazol-4-yl, 1,3-imidazol-1-yl or 1,3-imidazol-4-yl, tetrazol-1-yl, tetrazol-5-yl; methylsulphonamido, ethylsulphonamido, propylsulphonamido, methylaminosulphonyl, ethylaminosulphonyl, propylaminosulphonyl, aminosulphonyl, trifluoromethoxy, trifluoromethyl and trichloromethyl.



38 (new). A compound as claimed in Claim 29, in which R<sub>2</sub> represents:

(i) phenyl optionally being substituted in the 3 and/or 4 position by fluoro, chloro, bromo, iodo, nitro, difluoromethoxy, trifluoromethoxy, amino, cyano, trifluoromethyl, methylthio, vinyl, carboxy, acetoxy, MeSO<sub>2</sub>-, hydroxy, methoxy, ethoxy, methyl, methoxycarbonyl, methylamino, ethylamino or amido, and optionally substituted at the 6 position by amino, hydroxy, fluoro, methoxycarbonyl, cyano or aminomethyl;

(ii) naphth-2-yl optionally substituted at the 6, position by hydroxy and optionally substituted at the 3 position by amino or hydroxy;

(iii) isoquinolin-7-yl, indol-5-yl, indol-6-yl, indazol-5-yl, indazol-6-yl, benzothiazol-6-yl or benzisoxazol-5-yl optionally substituted at the 3 position by chloro, bromo, amino, methyl or methoxy;

(iv) benzimidazol-5-yl or benzothiazol-6-yl optionally substituted at the 2 position by amino;

(v) thien-2-yl or thien-3-yl optionally substituted at the 4 or 5 position by methylthio, methyl or acetyl;

(vi) 3,4-methylenedioxyphenyl, 2,3-dihydroindol-6-yl, 3,3-dichloro-2-oxo-indol-6-yl or 1-methyl-3-aminoindazol-5-yl;

(vii) benzothiazol-2-yl, imidazo[1,2-a]pyrimidin-2-yl or tetrahydroimidazo[1,2-a]pyrimidin-2-yl;

(viii) pyrazol-2-yl substituted at the 5 position by methyl;

(ix) pyrid-2-yl optionally substituted at the 6 position by chloro;

(x) pyrid-3-yl optionally substituted at the 4 position by chloro;

(xi) benzofur-2-yl optionally substituted at the 3 position by chloro, methyl or methoxy, at the 5 or 6 position by methyl and at the 6 position by methoxy;

(xii) indol-2-yl optionally substituted on the indole nitrogen atom by methyl and optionally substituted at the 5 or 6 position by fluoro, chloro, bromo, methyl or methoxy;

(xiii) indol-6-yl substituted at the 5 position by chloro, fluoro or hydroxy and optionally substituted at the 3 position by chloro or methyl; or

(xiv) benzo[b]thiophen-2-yl optionally substituted at the 3 position by fluoro, chloro or methyl, and optionally substituted at the 5 or 6 position by fluoro, chloro, methyl, hydroxy, or methoxy.

39 (new). A compound as claimed in Claim 38, in which R<sup>2</sup> is phenyl substituted in the 4 position by chloro, amino, vinyl, methylamino, methyl or methoxy, optionally at the 3 position with amino or hydroxy, and optionally at the 6 position with amino or hydroxy.

40 (new). A compound as claimed in Claim 38, in which R<sub>2</sub> represents indol-6-yl optionally substituted at the 3 position by chloro, bromo, methyl or methoxy or indol-6-yl substituted at the 5 position by chloro, fluoro or hydroxy and optionally substituted at the 3 position by chloro or methyl.

41 (new). A pharmaceutical composition, which comprises a compound as claimed in Claim 29 together with at least one pharmaceutically acceptable carrier or excipient.